

AMENDMENTS TO THE CLAIMS

This listing of claims will replace all prior versions and listings of claims in the application.

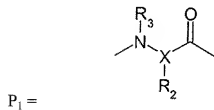
1-20. (Cancelled)

21. (Currently amended) A compound of the general formula I

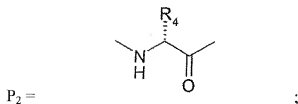


wherein

A is P₂ - P₁ in which



and



R_1 is H or $-(CH_2)_aCOOR_6$, in which $a = 0, 1, 2, 3, 4$, or 5 , and where R_6 is a branched or unbranched alkyl radical having from 1 to 6 C atoms

R_2 is an H, a branched or unbranched alkyl radical having from 1 to 8 C atoms, or $-(CH_2)_cCOOR_8$, in which $c = 1, 2, 3$, or 4 , where R_8 is H or a branched or unbranched alkyl radical having from 1 to 6 C atoms or

$-(CH_2)_d-OR_9$, in which $d = 1, 2, 3$, or 4 , where R_9 is H, or

$-(CH_2)_eR_{10}$, $-(CH_2)_e-OR_{10}$, $-(CH_2)_e-SR_{10}$, $-(CH_2)_e$ -guanidino, $-(CH_2)_e$ -imidazole or $-(CH_2)_eNHR_{10}$, in which $e = 1, 2, 3, 4$, or 5 , where R_{10} is H, a branched or unbranched alkyl radical having 1-16 C atoms, or a substituted or unsubstituted aryl, heteroaryl, aralkyl, or heteroaralkyl radical, where the alkyl radical possesses from 1 to 16 C atoms, and the aryl or heteroaryl radical possesses from 4 to 14 C atoms, and from 1 to 3 N as heteroatom, or $-(CH_2)_kO-CO-OR_{16}$, in which $k = 1, 2, 3, 4, 5, 6, 7$, or 8 , where R_{16} is a branched or unbranched alkyl having 1-16 C atoms, a substituted or unsubstituted aryl, heteroaryl, aralkyl, or heteroaralkyl radical, or an adamantyl, a camphor, or a cyclohexylmethyl radical; and

R_5 is $-(CH_2)_g(CH_3)_h$, in which $g + h = 1, 2$, or 3 , $-(CH_2)_i$ -aryl, in which $g + h = i = 0, 1, 2$, or 3 , $-SO_2R_{12}$, $-COR_{12}$ or $-COOR_{12}$, where R_{12} is a branched or unbranched alkyl having 1-16, C atoms, a substituted or unsubstituted aryl, heteroaryl, aralkyl, or heteroaralkyl radical, or an adamantyl, a camphor, or a cyclohexylmethyl radical, where R_5 is optionally modified with a $-(CH_2)_jCOOR_{13}$, $-(CH_2)_jSO_2R_{13}$, $-(CH_2)_jNH_2$, $-(CH_2)_j$ -amidino, $-(CH_2)_j$ -hydroxyamidino, or $-(CH_2)_j$ -guanidino group in which $j = 0, 1$, or 2 , where R_{13} is H or an alkyl radical having from 1 to 6 C atoms; or

R_3 is H or $-(CH_2)_bR_7$, in which $b = 1, 2, 3, 4, 5, 6, 7$, or 8 , where R_7 is H, a branched or unbranched alkyl radical having from 1 to 10 C atoms or a $-(CH_2)_jCOOR_{13}$, $-(CH_2)_jSO_2R_{13}$, or $-(CH_2)_jNH_2$, or $-(CH_2)_j$ -amidino, $-(CH_2)_j$ -hydroxyamidino, or $-(CH_2)_j$ -guanidino group in which $j = 0, 1$, or 2 , where R_{13} is H or an alkyl radical having from 1 to 6 C atoms, and with P_1 being present in the L configuration in the structure A;

R_4 is a branched or unbranched alkyl radical having from 1 to 8 C atoms, $-(CH_2)_fOR_{11}$, $-(CH_2)_fSR_{11}$, or $-(CH_2)_fNHR_{11}$ in which $f = 1, 2, 3, 4, 5, 6, 7$, or 8 , where R_{11} is H or $-CO-OR_{17}$, where R_{17} is a branched or unbranched alkyl having 1-16 C atoms, a substituted or unsubstituted aryl, heteroaryl, aralkyl, or heteroaralkyl radical, or an adamantyl, a camphor, or a cyclohexylmethyl radical and with P_2 being present in the D configuration in the structure A;

U is a phenyl or cyclohexyl radical or a heterophenyl or heterocyclohexyl radical having at least one N, S, or O as heteroatom;

V is $(CH_2)_n$ in which n is 0, 1, 2, or 3;

X is N or CH;

Y is N or $(CH)_m$ in which $m = 0$ or 1 ;

Z occurs in the 3 or 4 position and is an aminomethyl, a guanidine, or an amidino group



where R_{14} is H, OH, NH_2 , $-COR_{15}$ or $-COOR_{15}$, where R_{15} is a branched or

unbranched alkyl radical having from 1 to 16 C atoms or a substituted or unsubstituted aryl or heteroaryl, aralkyl, or heteroaralkyl radical, where the alkyl radical possesses from 1 to 16 C atoms and the aryl or heteroaryl radical possesses from 4 to 14 C atoms and from 1 to 3 N as heteroatom;

characterized in that one or more charged radicals, derived from $-\text{COOH}$, $-\text{CH}(\text{COOH})_2$, $-\text{SO}_2\text{H}$, NH_2 , an amidino, hydroxyamidino, amidrazono, or guanidino group, is/are present in the radicals R_1 , R_2 , R_3 or R_5 ;

or a compound of the general formula I in the form of a prodrug or in the form of its salt.

22. (Withdrawn) The compound as claimed in claim 21, in which an amino group-functionalized or carboxyl group-functionalized oligo- or polyalkylene glycol chain is coupled directly to a functional group of R_2 , with the formation of an amide bond at R_2 , with the oligo- or polyalkylene glycol chain possessing a substituted or unsubstituted amino group and/or carboxyl group, at least at both ends, or with the oligo- or polyalkylene glycol chain possessing a substituted or unsubstituted amino group and/or carboxyl group, at one end and being present, at the other end, as an alkyl ether having 1-4 C atoms, with R_2 being
- (a) $-(\text{CH}_2)_n-\text{NH}_2$ in which n is 1-5, or
 - (b) $-(\text{CH}_2)_n-\text{COOH}$ in which n is 1-5.
23. (Withdrawn) The compound as claimed in claim 22, wherein, after coupling the oligo- or polyalkylene glycol, P_1 has the general formula II



(II),

where q is 0, 1, 2, 3, 4, or 5 and D is formula III

E - F - G -

(III)

where, when E is an H_2N , $\text{HOOC}-(\text{CH}_2)_n-\text{CO}-\text{NH}$, HOOC , or $\text{H}_2\text{N}-(\text{CH}_2)_n-\text{NH}-\text{CO}$ group, F is an oligo- or polyalkylene glycol of the general formula $-(\text{CH}_2)_d-[\text{O}-\text{CH}_2-\text{CH}_2]_v-\text{O}-(\text{CH}_2)_m-(\text{NH}-\text{CO}-\text{CH}_2-\text{O}-\text{CH}_2)_k-$ or $-(\text{CH}_2)_d-[\text{O}-\text{CH}(\text{CH}_3)-\text{CH}_2]_v-\text{O}-(\text{CH}_2)_m-(\text{NH}-\text{CO}-\text{CH}_2-\text{O}-\text{CH}_2)_k-$, in which $d = 1, 2, 3$, or 4 , $v =$ an integer from 1 to $1000m = 0, 1, 2, 3$, or 4 , and $k = 0$ or 1 , or, when E is a CH_3-O group, F is an oligo- or polyalkylene glycol chain of the general formula $-(\text{CH}_2)_d-[\text{O}-\text{CH}_2-\text{CH}_2]_v-\text{O}-(\text{CH}_2)_m-(\text{NH}-\text{CO}-\text{CH}_2-\text{O}-\text{CH}_2)_k-$ or $-(\text{CH}_2)_d-[\text{O}-\text{CH}(\text{CH}_3)-\text{CH}_2]_v-\text{O}-(\text{CH}_2)_m-(\text{NH}-\text{CO}-\text{CH}_2-\text{O}-\text{CH}_2)_k-$, in which $d = 1, 2, 3$, or 4 , $v =$ an integer from 1 to $1000m = 0, 1, 2, 3$, or 4 , and $k = 0$ or 1 ;

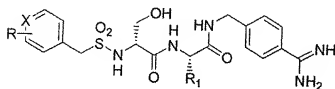
and G is $-\text{CO}-\text{NH}-$ or $-\text{NH}-\text{CO}-$.

24. (Withdrawn) The compound as claimed in claim 21, wherein U is substituted at 1, 2, or 3 positions by a halogen, or a methyl, ethyl, propyl, methoxy, ethoxy, or propoxy radical.
25. (Withdrawn) The compound as claimed in claim 21, wherein a carboxyl group is protected as an ester.

26. (Withdrawn) The compound as claimed in claim 21, in the form of a prodrug, with R₉ and/or R₁₁ in this case being an alkylcarbonyl, aralkylcarbonyl, alkylloxycarbonyl, or aralkoxycarbonyl radical, with the alkyl radical having from 1 to 6 C atoms and the aryl radical having from 5 to 8 C atoms.
27. (Withdrawn) The compound as claimed in claim 21, characterized in that the amidino group is in the 4 position in the amidinobenzylamide radical and in that P₂ is derived from the amino acid D-Ser and P₁ is derived from glycine, alanine, serine, aspartic acid or glutamic acid, and in that R₅ is an unsubstituted aryl- or aralkylsulfonyl radical, or such a radical provided with a carboxyl group or carboxyalkyl group, having from 1 to 16 C atoms in the alkyl radical and from 6 to 14 C atoms in the aryl radical.
28. (Previously presented) The compound as claimed in claim 21, characterized in that the amidino group is in the 4 position in the amidinobenzylamide radical and in that P₂ is the amino acid D-Ser and P₁ is a natural or artificial, unsubstituted or substituted, basic amino acid in the L configuration selected from the group consisting of Lys, homoLys, Arg, norArg, homoArg, His, Orn, Orn(2-imidazoliny), Dab, 4-[(2-amino)pyrimidinyl]butyric acid, Dap, Ala[3-(2-pyrrolidinyl)], Ala[3-pyrrolidinyl-(2-N-amidino)], Ala[3-(N-piperazine-4-N-amidino)], Ala(4-Pip), Ala[4-Pip(N-amidino)], homoAla(4-Pip), Ala[3-Pip(N-amidino)], homoAla(3-Pip), homoAla[4-Pip(N-amidino)], Ala-(3-guanidino), Phe(3-amidino), Phe(4-amidino), Phe(3-NH₂), Phe(4-NH₂), Phe(3-guanidino), Phe(4-guanidino), Phe[4-(2-imidazoliny)], Phe[3-CH₂-(guanidino)], Phe[4-CH₂-(guanidino)], homoPhe(3-amidino), homoPhe(4-amidino), hPhe(3-NH₂), hPhe(4-NH₂), hPhe(3-guanidino), hPhe(4-guanidino), cis-Cha(4-NH₂), trans-Cha(4-NH₂), cis-homoCha(4-NH₂), trans-homoCha(4-NH₂), trans-

Cha(4-CH₂NH₂), and trans-homoCha(4-CH₂NH₂), and in that R₅ is a sulfonyl group-provided aryl- or aralkylsulfonyl radical having from 1 to 16 C atoms in the alkyl radical and from 6 to 14 C atoms in the aryl radical, which is bonded to the amino group of the D-Ser.

29. (Previously presented) The compound as claimed in claim 28, characterized in that P1 is the amino acid Lys or Arg.
30. (Withdrawn) The compound as claimed in claim 21, characterized in that the substituent on the substituted aryl, heteroaryl, aralkyl, or heteroaralkyl radical is a halogen.
31. (Withdrawn) The compound as claimed in claim 21, characterized in that a compound of the general formula I has the following structure:



in which R is COOH, HOOC-(CH₂)_p- or R₁₈OOC-(CH₂)_p- in which p = 1 and 2 and R₁₈ = methyl or ethyl, or COOMe in ortho, meta or para, or H, and X is CH and R₁ is H; or

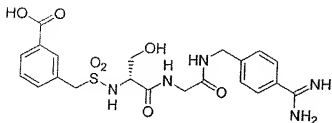
R is 4-COOH or 3-COOH, with X being CH and R₁ being H, CH₃ or CH₂-OH; or

R is 4-CN, with X being CH and R₁ being CH₃; or

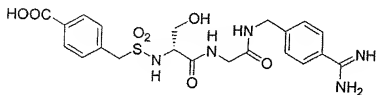
R is 4-(NH₂-CH₂), with X being CH and R₁ being H; or

R is 4-COOMe, with X being CH and R₁ being CH₂-OH.

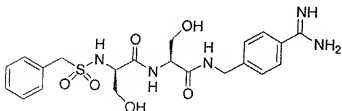
32. (Withdrawn) The compound as claimed in claim 21, characterized in that a compound of the general formula I has one of the following structures:



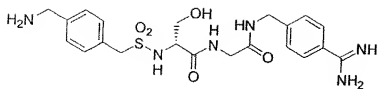
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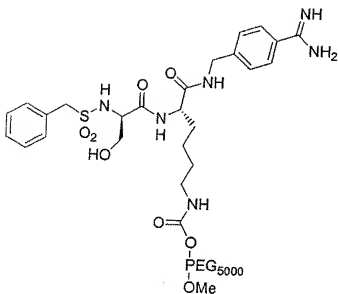
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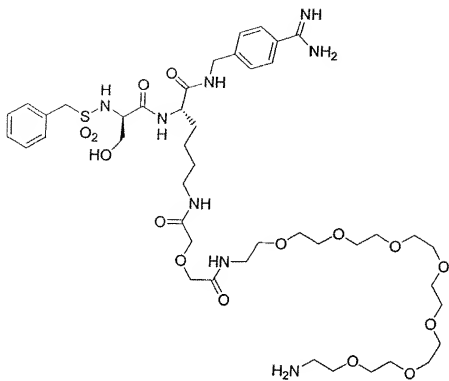
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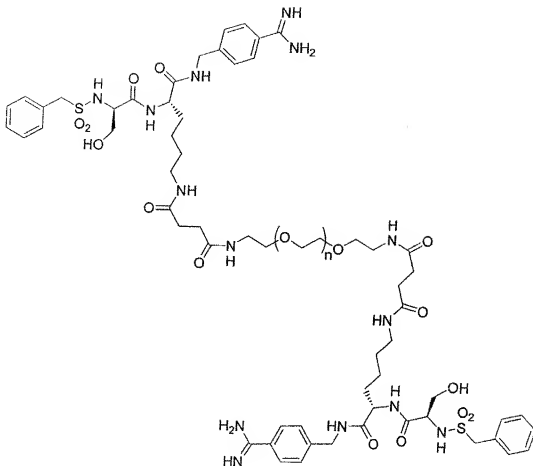
33. (Withdrawn) The compound as claimed in claim 21, characterized in that a compound of the general formula I has one of the following structures:



or



or



in which $n = 2$ to 250 .

34. (Previously presented) The compound as claimed in claim 21, characterized in that the compounds are mineral acid or organic acid salts .
35. (Previously presented) The compound as claimed in claim 34, characterized in that said salts are sulfates, acetic acid, formic acid, methylsulfonic acid, succinic acid, malic acid, or trifluoroacetic acid.

36. (Previously presented) A process for preparing a compound as claimed in claim 21, the process comprising sequentially coupling the appropriate amino acids to a 4-acetyloxamidinobenzylamine, with either the N-terminal amino acid already carrying the R₅ radical or with this radical subsequently being bonded to it.
37. (Previously presented) A pharmaceutical composition comprising a compound as claimed in claim 21 and pharmaceutically suitable auxiliary substances and/or additives.
38. (Previously presented) The pharmaceutical composition as claimed in claim 37, wherein the pharmaceutical composition is used in the form of a tablet, a sugar-coated tablet, a capsule, a pellet, a suppository, a solution, an injection solution or infusion solution, eyedrops, nose drops and ear drops, a juice, an emulsion or suspension, a globule, a stylus, an aerosol, a powder, a paste, a cream or an ointment.
39. (Withdrawn) A method of treating or preventing a tumor, in particular for reducing the formation of tumor metastases, said method comprising administering to a patient a compound as claimed in claim 21.
40. (Previously presented) The compound as claimed in claim 21 being benzylsulfonyl-Der-Arg-4-amidinobenzylamide.